

~~10/408,276~~

10/721,272

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(ROSPATENT) added to list of core patent offices covered  
NEWS 4 FEB 28 PATDPAFULL - New display fields provide for legal status  
data from INPADOC  
NEWS 5 FEB 28 BABS - Current-awareness alerts (SDIs) available  
NEWS 6 FEB 28 MEDLINE/LMEDLINE reloaded  
NEWS 7 MAR 02 GBFULL: New full-text patent database on STN  
NEWS 8 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced  
NEWS 9 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
NEWS 10 MAR 22 KOREAPAT now updated monthly; patent information enhanced  
NEWS 11 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY  
NEWS 12 MAR 22 PATDPASPC - New patent database available  
NEWS 13 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags  
NEWS 14 APR 04 EPFULL enhanced with additional patent information and new  
fields  
NEWS 15 APR 04 EMBASE - Database reloaded and enhanced  
NEWS 16 APR 18 New CAS Information Use Policies available online  
NEWS 17 APR 25 Patent searching, including current-awareness alerts (SDIs),  
based on application date in CA/CAPLUS and USPATFULL/USPAT2  
may be affected by a change in filing date for U.S.  
applications.  
NEWS 18 APR 28 Improved searching of U.S. Patent Classifications for  
U.S. patent records in CA/CAPLUS  
NEWS 19 MAY 23 GBFULL enhanced with patent drawing images  
NEWS 20 MAY 23 REGISTRY has been enhanced with source information from  
CHEMCATS  
NEWS 21 MAY 26 STN User Update to be held June 6 and June 7 at the SLA 2005  
Annual Conference  
NEWS 22 JUN 06 STN Patent Forums to be held in June 2005  
NEWS 23 JUN 06 The Analysis Edition of STN Express with Discover!  
(Version 8.0 for Windows) now available  
  
NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005  
  
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10/408,276

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FILE 'HOME' ENTERED AT 13:13:15 ON 08 JUN 2005

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 13:13:22 ON 08 JUN 2005

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STRUCTURE FILE UPDATES: 7 JUN 2005 HIGHEST RN 851848-50-5

DICTIONARY FILE UPDATES: 7 JUN 2005 HIGHEST RN 851848-50-5

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

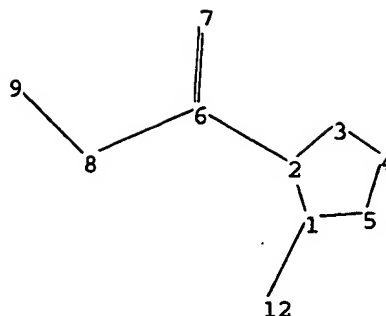
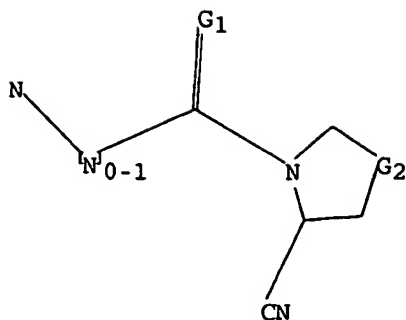
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\104082761.str

10/408,276



chain nodes :  
6 7 8 9 12  
ring nodes :  
1 2 3 4 5  
chain bonds :  
1-12 2-6 6-7 6-8 8-9  
ring bonds :  
1-2 1-5 2-3 3-4 4-5  
exact/norm bonds :  
1-2 1-5 1-12 2-3 2-6 3-4 4-5 6-7 6-8 8-9

G1:O,S,N

G2:C,O,S,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
12:CLASS

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 13:13:39 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 16 TO ITERATE

100.0% PROCESSED 16 ITERATIONS  
SEARCH TIME: 00.00.01

3 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 80 TO 560  
PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 13:13:48 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 332 TO ITERATE

100.0% PROCESSED 332 ITERATIONS  
SEARCH TIME: 00.00.01

19 ANSWERS

10/408,276

L3 19 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

161.33

161.54

FILE 'CAPLUS' ENTERED AT 13:13:55 ON 08 JUN 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 8 Jun 2005 VOL 142 ISS 24

FILE LAST UPDATED: 7 Jun 2005 (20050607/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 10 L3

=> d 14 ibib hitstr abs 1-10

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:504782 CAPLUS

DOCUMENT NUMBER: 137:78968

TITLE: Preparation of aminocarbonylpyrrolidine derivatives as dipeptidyl peptidase IV inhibitors

INVENTOR(S): Matsuno, Kenji; Ueno, Kimihisa; Iwata, Yasuhiro; Matsumoto, Yuichi; Nakanishi, Satoshi; Takasaki, Kotaro; Kusaka, Hideaki; Nomoto, Yuji; Ogawa, Akira

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 196 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051836	A1	20020704	WO 2001-JP11578	20011227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,  
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,  
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,  
 UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 CA 2433090 AA 20020704 CA 2001-2433090 20011227  
 EP 1354882 A1 20031022 EP 2001-271892 20011227  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 US 2004180925 A1 20040916 US 2003-465919 20031110  
 PRIORITY APPLN. INFO.: JP 2000-398441 A 20001227  
 JP 2001-261409 A 20010830  
 WO 2001-JP11578 W 20011227

OTHER SOURCE(S): MARPAT 137:78968

IT 440101-06-4P 440101-07-5P 440101-09-7P

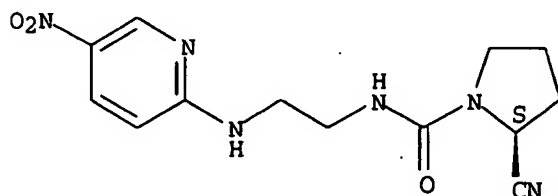
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of aminocarbonylpyrrolidine derivs. as dipeptidyl peptidase IV  
 inhibitors)

RN 440101-06-4 CAPLUS

CN 1-Pyrrolidinecarboxamide, 2-cyano-N-[2-[(5-nitro-2-pyridinyl)amino]ethyl]-  
 , (2S)- (9CI) (CA INDEX NAME)

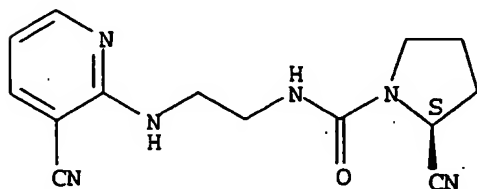
Absolute stereochemistry.



RN 440101-07-5 CAPLUS

CN 1-Pyrrolidinecarboxamide, 2-cyano-N-[2-[(3-cyano-2-pyridinyl)amino]ethyl]-  
 , (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

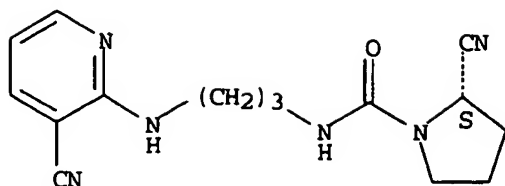


RN 440101-09-7 CAPLUS

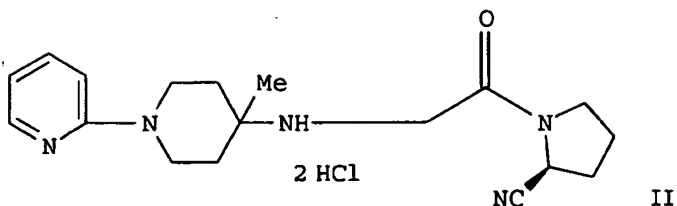
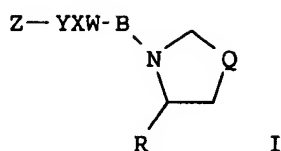
CN 1-Pyrrolidinecarboxamide, 2-cyano-N-[3-[(3-cyano-2-pyridinyl)amino]propyl]-  
 , (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/408,276



GI



AB Title compds. [I; Q = CH<sub>2</sub>, S; R = H, (S)-CN; B = CH<sub>2</sub>CO, COCH<sub>2</sub>, CO; YXW = NHCH<sub>2</sub>CH<sub>2</sub>NH, NH(CH<sub>2</sub>)<sub>3</sub>NH, NHCH<sub>2</sub>C(CH<sub>3</sub>)<sub>2</sub>NH, 1-(4-methyl-piperidine-4-amino)-yl, 1-(1-aminomethylcyclopropyl)amino, 4-NHCH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>NH, N(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>), 1,4-piperazinyl, 1-piperidinyl-4-amino, N(CH<sub>3</sub>)CH<sub>2</sub>C(CH<sub>3</sub>)<sub>2</sub>NH; Z = optionally substituted 1-pyrrolidinyl, optionally substituted 3-thiazolidinyl, optionally substituted 1-oxo-3-thiozolidinyl, etc.] and pharmacol. acceptable salts of title compds. are prepared as dipeptidyl peptidase IV inhibitors. Title compds. are useful as antidiabetics, antiaids agents, antiarteriosclerosis, antihyperglycinemia agents, and as remedies for hyperglycinemia, hyperinsulinism, etc. in combination with related remedies as GI-262570, KAD1229, etc. Thus, the title compound II was prepared and in vivo tested for DPP-IV inhibition with IC<sub>50</sub> = 11 nmol/L.

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:133508 CAPLUS

DOCUMENT NUMBER: 132:166514

TITLE: heterocyclic carboxylic acid ureas or carbamates for vision and memory disorders.

INVENTOR(S): Ross, Douglas T.; Sauer, Hansjorg; Hamilton, Gregory

10/408,276

PATENT ASSIGNEE(S): S.; Steiner, Joseph P.  
SOURCE: Guilford Pharmaceuticals Inc., USA  
PCT Int. Appl., 89 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009125	A1	20000224	WO 1999-US18234	19990812
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2336152	AA	20000224	CA 1999-2336152	19990812
AU 9954778	A1	20000306	AU 1999-54778	19990812
EP 1107754	A1	20010620	EP 1999-941054	19990812
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522494	T2	20020723	JP 2000-564628	19990812
PRIORITY APPLN. INFO.:			US 1998-134420	A 19980814
			WO 1999-US18234	W 19990812

OTHER SOURCE(S): MARPAT 132:166514

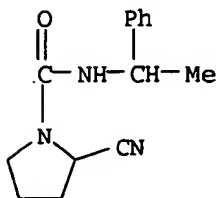
IT 251574-10-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(heterocyclic carboxylic acid ureas or carbamates for vision and memory disorders)

RN 251574-10-4 CAPLUS

CN 1-Pyrrolidinecarboxamide, 2-cyano-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)



AB A method for treating a vision disorder, improving vision, treating memory impairment, or enhancing memory performance comprises administration of a urea or carbamate of an N-heterocyclic carboxylic acid or an isostere thereof. Thus, (2S)-1-(N-cyclohexylcarbamoyl)pyrrolidine-2-carboxylic acid was prepared by solution phase couplings. 3-(3-Pyridyl)propyl (2S)-1-(3,3-dimethyl-1,2-dioxopentyl)-2-pyrrolidinecarboxylate (GPI 1046) prevented degeneration of optic nerve axons an myelin following retinal ischemia.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

## RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2000:133477 CAPLUS  
 DOCUMENT NUMBER: 132:175848  
 TITLE: Carboxylic acids and isosteres of heterocyclic ring compounds having multiple heteroatoms for vision and memory disorders  
 INVENTOR(S): Ross, Douglas T.; Sauer, Hansjorg; Hamilton, Gregory S.; Steiner, Joseph P.  
 PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA  
 SOURCE: PCT Int. Appl., 91 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009106	A2	20000224	WO 1999-US18238	19990812
WO 2000009106	A3	20001012		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6337340	B1	20020108	US 1998-134476	19980814
CA 2336154	AA	20000224	CA 1999-2336154	19990812
AU 9953970	A1	20000306	AU 1999-53970	19990812
EP 1104300	A2	20010606	EP 1999-939731	19990812
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522482	T2	20020723	JP 2000-564609	19990812
PRIORITY APPLN. INFO.:			US 1998-134476	A 19980814
			WO 1999-US18238	W 19990812

OTHER SOURCE(S): MARPAT 132:175848

IT 251951-49-2P

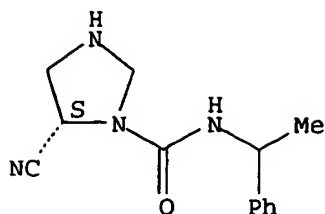
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (carboxylic acids and isosteres of heterocyclic ring compds. having multiple heteroatoms for vision and memory disorders)

RN 251951-49-2 CAPLUS

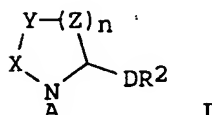
CN 1-Imidazolidinecarboxamide, 5-cyano-N-(1-phenylethyl)-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





GI



AB The title compds. [I; X, Y, Z = C, O, S, N; A = R1C(O)C(O), R1C(O)C(S), R1SO2, R1(E)NC(O); R1, E = H, C1-9 alkyl, C2-9 alkenyl, aryl, heteroaryl, carbocyclyl, heterocyclyl; D = bond, (substituted) C1-10 alkylene, CH:CH; R2 = CO2H, carboxylic acid isostere; n = 1-3] are prepared for treating vision disorders, improving vision, treating memory impairment, or enhancing memory performance in an animal. I bind to immunophilin FKBP12 and preferably do not have immunosuppressive activity. Affinity for FKBP12 is measured as inhibition of prolyl peptidyl cis-trans isomerase (rotamase). Thus, GPI 1046 (10 mg/kg s.c.) protected retinal ganglion cells and optic nerve axons and myelin against degeneration following retinal ischemia in rats, and protected against retinal ganglion cell death after optic nerve transection. Me 1,3-oxazolidine-4-carboxylate was condensed with Me oxalyl chloride and the product reacted with 1,1-dimethylpropylmagnesium chloride and saponification to produce 3-(3,3-dimethyl-2-oxopentanoyl)-1,3-oxazolidine-4-carboxylic acid, I [X = Z = CH2, Y = O, A = CH3CH2CMe2C(O)C(O), D = bond, R2 = CO2H, n = 1].

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:784085 CAPLUS

DOCUMENT NUMBER: 132:18814

TITLE: Aza-heterocyclic compounds used to treat neurological disorders and hair loss

INVENTOR(S): Hamilton, Gregory S.; Norman, Mark H.; Wu, Yong-Qian; Li, Jia-He; Steiner, Joseph P.

PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA; Amgen, Inc.

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962888	A1	19991209	WO 1998-US25574	19981203
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,			

MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,  
 TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,  
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,  
 CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2333964	AA	19991209	CA 1998-2333964	19981203
AU 9917082	A1	19991220	AU 1999-17082	19981203
ZA 9811062	A	19991220	ZA 1998-11062	19981203
BR 9815919	A	20010220	BR 1998-15919	19981203
EP 1102756	A1	20010530	EP 1998-961867	19981203

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO

JP 2002517383	T2	20020618	JP 2000-552100	19981203
NO 2000006117	A	20010201	NO 2000-6117	20001201
BG 105013	A	20010831	BG 2000-105013	20001201
US 2002045641	A1	20020418	US 2001-776904	20010206

PRIORITY APPLN. INFO.:

US 1998-87843P	P	19980603
US 1998-204238	A3	19981203
WO 1998-US25574	W	19981203

OTHER SOURCE(S): MARPAT 132:18814

IT 251951-49-2 251954-85-5

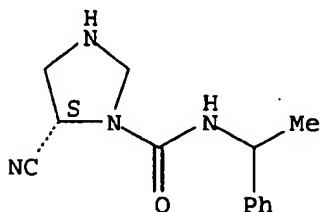
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(heterocyclic compds. for treatment of neurol. disorder or hair loss)

RN 251951-49-2 CAPLUS

CN 1-Imidazolidinecarboxamide, 5-cyano-N-(1-phenylethyl)-, (5S)- (9CI) (CA INDEX NAME)

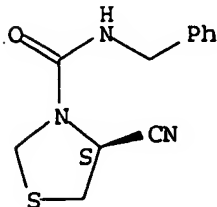
Absolute stereochemistry.



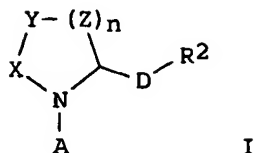
RN 251954-85-5 CAPLUS

CN 3-Thiazolidinecarboxamide, 4-cyano-N-(phenylmethyl)-, (4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



AB The invention is directed to carboxylic acids and isosteres of heterocyclic ring compds. I [X, Y, Z = C, O, S, N (provided that not all X, Y, Z are C); n = 1-3; A = R1C(O)C(O), R1C(O)C(S), R1SO2, (E) (R1)NC(O); R1, E = H, C1-9 (un)branched alkyl or alkenyl, aryl, etc.; D = C1-10 (un)branched alkyl, ethylene, butylene; R2 = carboxylic acid or carboxylic acid isostere] which have multiple heteroatoms within the heterocyclic ring, derivs. containing N-linked diketos, sulfonamides, ureas and carbamates attached thereto, their preparation and use for treating neurol. disorders including phys. damaged nerves and neurodegenerative diseases, as well as for treating alopecia and promoting hair growth.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:784076 CAPLUS

DOCUMENT NUMBER: 132:22867

TITLE: Preparation of urea and carbamate derivatives of N-heterocyclic carboxylic acids and carboxylic acid isosteres for the treatment of neurodegenerative diseases and alopecia

INVENTOR(S): Hamilton, Gregory S.; Norman, Mark H.; Wu, Yong-Qian; Steiner, Joseph P.

PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA; Amgen, Inc.

SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9962879	A1	19991209	WO 1998-US25570	19981203
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9811061	A	19991203	ZA 1998-11061	19981203
CA 2333960	AA	19991209	CA 1998-2333960	19981203
AU 9916204	A1	19991220	AU 1999-16204	19981203
EP 1082301	A1	20010314	EP 1998-960656	19981203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9815881	A	20020723	BR 1998-15881	19981203
NO 2000006111	A	20010201	NO 2000-6111	20001201

10/408,276

BG 105014	A	20010831	BG 2000-105014	20001201
US 2002007075	A1	20020117	US 2001-771686	20010130
US 2002042442	A1	20020411	US 2001-847432	20010503
PRIORITY APPLN. INFO.:			US 1998-87844P	P 19980603
			US 1998-204235	A3 19981203
			WO 1998-US25570	W 19981203

OTHER SOURCE(S): MARPAT 132:22867

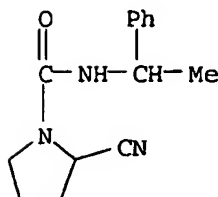
IT 251574-10-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

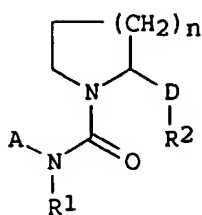
(preparation of urea and carbamate derivs. of N-heterocyclic carboxylic acids and carboxylic acid isosteres for the treatment of neurodegenerative diseases and alopecia)

RN 251574-10-4 CAPLUS

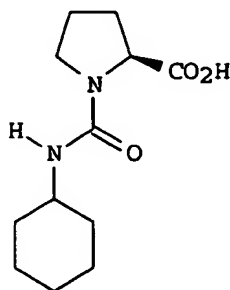
CN 1-Pyrrolidinecarboxamide, 2-cyano-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)



GI



I



II

AB The title urea or carbamate derivs. I [ $n = 1-3$ ;  $R_1$  and  $A = H$ , alkyl, alkenyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl;  $D = \text{bond}$ , alkyl, alkenyl, alkynyl;  $R_2 = \text{CO}_2\text{H}$ , alkyl, alkenyl, alkynyl, aryl, heteroaryl, cycloalkyl, carboxylic acid isosteres, e.g.  $\text{SO}_3\text{H}$ , cyano, sulfamoyl, carbamoyl, etc., (un)substituted by  $R_3$ ;  $R_3 = H$ ,  $\text{HO}$ , halo, haloalkyl, thiocarbonyl, alkoxy, alkenyloxy, aryloxy, cyano, nitro, imino, alkylthio, etc., and  $\text{CO}_2\text{R}_4$ ;  $R_4 = H$ , alkyl, alkenyl] and their pharmaceutically acceptable salts, esters, etc. were prepared and their pharmaceutical formulations described for use for treating neurol. disorders including phys. damaged nerves and neurodegenerative diseases and for treating alopecia and promoting hair growth. Thus, reaction of proline Me ester hydrochloride with cyclohexyl isocyanate and hydrolysis of the resulting ester gave the (cyclohexylcarbamoyl)pyrrolidinecarboxylic acid II.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/408,276

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	49.85	211.39
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-7.30	-7.30

STN INTERNATIONAL LOGOFF AT 13:14:27 ON 08 JUN 2005

10/721,272

~~10/408,276~~

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal201txs

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

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NEWS 3 FEB 25 CA/CAPLUS - Russian Agency for Patents and Trademarks  
(ROSPATENT) added to list of core patent offices covered  
NEWS 4 FEB 28 PATDPAFULL - New display fields provide for legal status  
data from INPADOC  
NEWS 5 FEB 28 BABS - Current-awareness alerts (SDIs) available  
NEWS 6 FEB 28 MEDLINE/LMEDLINE reloaded  
NEWS 7 MAR 02 GBFULL: New full-text patent database on STN  
NEWS 8 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced  
NEWS 9 MAR 03 MEDLINE file segment of TOXCENTER reloaded  
NEWS 10 MAR 22 KOREAPAT now updated monthly; patent information enhanced  
NEWS 11 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY  
NEWS 12 MAR 22 PATDPASPC - New patent database available  
NEWS 13 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags  
NEWS 14 APR 04 EPFULL enhanced with additional patent information and new  
fields  
NEWS 15 APR 04 EMBASE - Database reloaded and enhanced  
NEWS 16 APR 18 New CAS Information Use Policies available online  
NEWS 17 APR 25 Patent searching, including current-awareness alerts (SDIs),  
based on application date in CA/CAPLUS and USPATFULL/USPAT2  
may be affected by a change in filing date for U.S.  
applications.  
NEWS 18 APR 28 Improved searching of U.S. Patent Classifications for  
U.S. patent records in CA/CAPLUS  
NEWS 19 MAY 23 GBFULL enhanced with patent drawing images  
NEWS 20 MAY 23 REGISTRY has been enhanced with source information from  
CHEMCATS  
NEWS 21 MAY 26 STN User Update to be held June 6 and June 7 at the SLA 2005  
Annual Conference  
NEWS 22 JUN 06 STN Patent Forums to be held in June 2005  
NEWS 23 JUN 06 The Analysis Edition of STN Express with Discover!  
(Version 8.0 for Windows) now available  
  
NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005  
  
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NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

10/408,276

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FILE 'HOME' ENTERED AT 13:01:19 ON 08 JUN 2005

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 13:01:30 ON 08 JUN 2005

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STRUCTURE FILE UPDATES: 7 JUN 2005 HIGHEST RN 851848-50-5

DICTIONARY FILE UPDATES: 7 JUN 2005 HIGHEST RN 851848-50-5

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\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

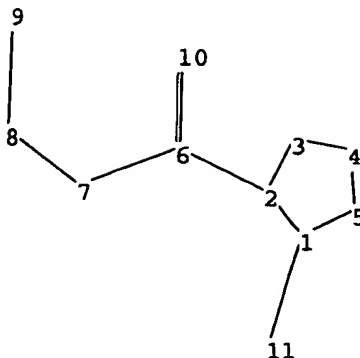
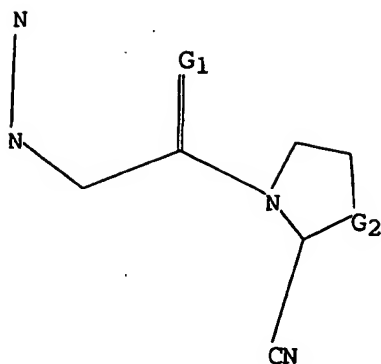
Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10408276.str

10/408,276



chain nodes :

6 7 8 9 10 11

ring nodes :

1 2 3 4 5

chain bonds :

1-11 2-6 6-7 6-10 7-8 8-9

ring bonds :

1-2 1-5 2-3 3-4 4-5

exact/norm bonds :

1-2 1-5 1-11 2-3 2-6 3-4 4-5 6-7 6-10 7-8 8-9

isolated ring systems :

containing 1 :

G1:O,S,N

G2:C,O,S,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS  
10:CLASS 11:CLASS

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 13:01:47 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 2 TO 124

PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

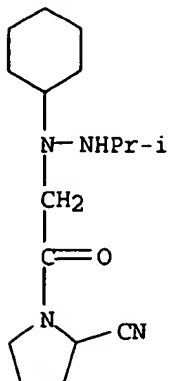
=> d scan

L2 2 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN



10/408,276

IN 2-Pyrrolidinecarbonitrile, 1-[[1-cyclohexyl-2-(1-methylethyl)hydrazino]acetyl] - (9CI)  
MF C16 H28 N4 O

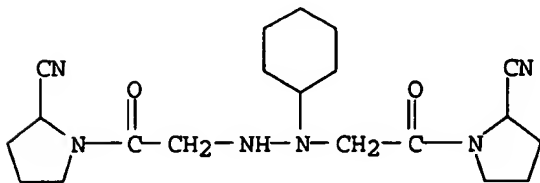


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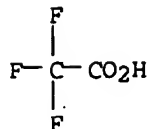
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 2 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN  
IN 2-Pyrrolidinecarbonitrile, 1,1'-[(cyclohexylhydrazo)bis(1-oxo-2,1-ethanediyl)]bis-, mono(trifluoroacetate) (9CI)  
MF C20 H30 N6 O2 . C2 H F3 O2

CM 1



CM 2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

10/408,276

ALL ANSWERS HAVE BEEN SCANNED

=> 0

0 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.  
For a list of commands available to you in the current file, enter  
"HELP COMMANDS" at an arrow prompt (=>).

=> s l1 ful

FULL SEARCH INITIATED 13:02:33 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 63 TO ITERATE

100.0% PROCESSED 63 ITERATIONS 49 ANSWERS  
SEARCH TIME: 00.00.01

L3 49 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.76	161.97

FILE 'CAPLUS' ENTERED AT 13:02:39 ON 08 JUN 2005  
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FILE COVERS 1907 - 8 Jun 2005 VOL 142 ISS 24  
FILE LAST UPDATED: 7 Jun 2005 (20050607/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 2 L3

=> d l4 ibib hitstr abs 1-2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 2004:451670 CAPLUS  
DOCUMENT NUMBER: 141:23523  
TITLE: Preparation of thiazolidine-4-carbonitriles and analogs and their use as dipeptidyl-peptidase inhibitors  
INVENTOR(S): Sankaranarayanan, Alangudi

10/408,276

PATENT ASSIGNEE(S): Torrent Pharmaceuticals Ltd., India  
SOURCE: U.S. Pat. Appl. Publ., 90 pp., Cont.-in-part of U.S.  
Ser. No. 408,276.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004106802	A1	20040603	US 2003-721272 /	20031126
US 2003225102	A1	20031204	US 2003-408276	20030408
PRIORITY APPLN. INFO.:			US 2002-370224P	P 20020408
			US 2003-408276	A2 20030408

OTHER SOURCE(S): CASREACT 141:23523; MARPAT 141:23523

IT 613265-23-9P 613265-25-1P 613265-27-3P  
613265-28-4P 613265-30-8P 613265-34-2P  
613265-36-4P 613265-38-6P 613265-41-1P  
613265-49-9P 613265-53-5P 613265-57-9P  
613265-61-5P 613265-65-9P 613265-67-1P  
613265-71-7P 613265-76-2P 613265-80-8P  
613265-82-0P 613265-84-2P 613265-86-4P  
613265-94-4P 613266-00-5P 613266-01-6P  
613266-39-0P 697801-86-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of thiazolidinecarbonitriles and analogs for use as  
dipeptidyl-peptidase inhibitors)

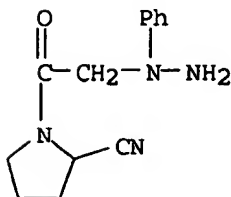
RN 613265-23-9 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[(1-phenylhydrazino)acetyl]-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-22-8

CMF C13 H16 N4 O

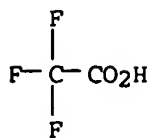


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CRN 76-05-1

CMF C2 H F3 O2

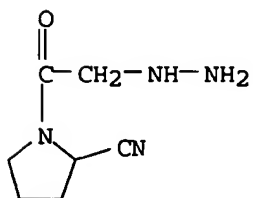
10/408,276



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CN 2-Pyrrolidinecarbonitrile, 1-(hydrazinoacetyl)-, mono(trifluoroacetate)  
(9CI) (CA INDEX NAME)

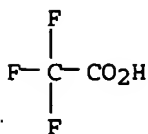
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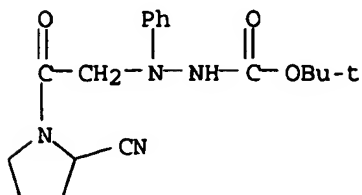


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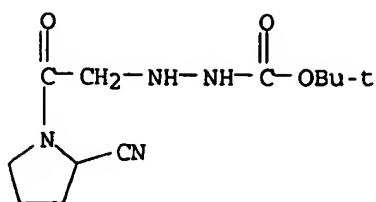


RN 613265-27-3 CAPLUS  
CN Hydrazinecarboxylic acid, 2-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]-2-phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 613265-28-4 CAPLUS  
CN Hydrazinecarboxylic acid, 2-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

10/408,276



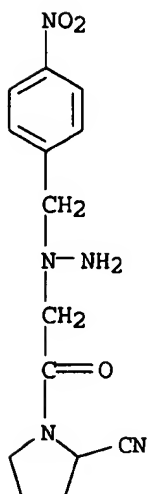
RN 613265-30-8 CAPLUS

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CM 1

CRN 613265-29-5

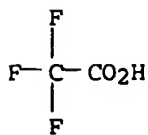
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



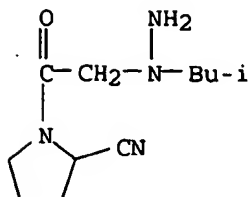
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CM 1

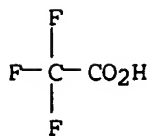
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CM 2

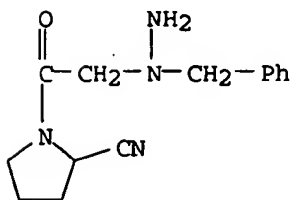
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RN 613265-36-4 CAPLUS  
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CM 1

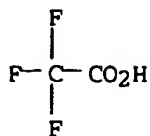
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CM 2

CRN 76-05-1  
CMF C2 H F3 O2

10/408,276



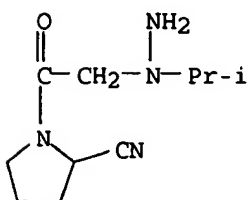
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CM 1

CRN 613265-37-5

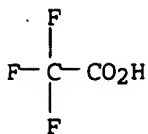
CMF C10 H18 N4 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



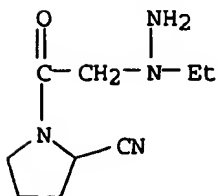
RN 613265-41-1 CAPLUS

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CRN 613265-40-0

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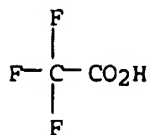


10/408,276

CM 2

CRN 76-05-1

CMF C2 H F3 O2



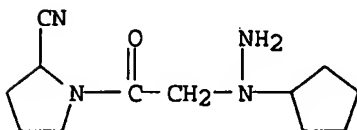
RN 613265-49-9 CAPLUS

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CM 1

CRN 613265-48-8

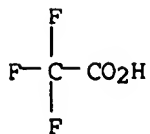
CMF C12 H20 N4 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 613265-53-5 CAPLUS

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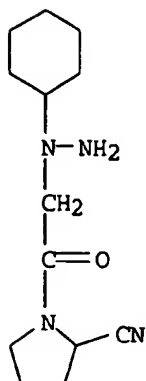
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CRN 613265-52-4

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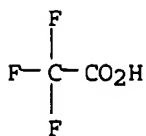


10/408,276



CM 2

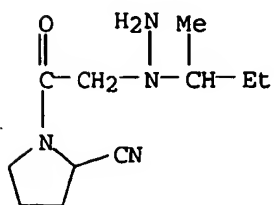
CRN 76-05-1  
CMF C2 H F3 O2



RN 613265-57-9 CAPLUS  
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(1-methylpropyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

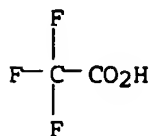
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CMF C11 H20 N4 O



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

10/408,276



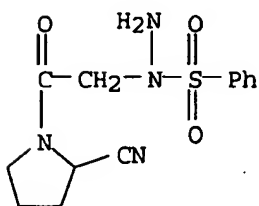
RN 613265-61-5 CAPLUS

CN Benzenesulfonic acid, 1-[2-(2-cyano-1-pyrrolidiny)-2-oxoethyl]hydrazide, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-60-4

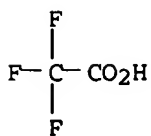
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 613265-65-9 CAPLUS

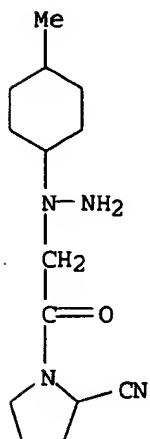
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(4-methylcyclohexyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-64-8

CMF C14 H24 N4 O

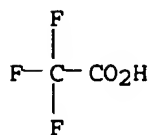
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



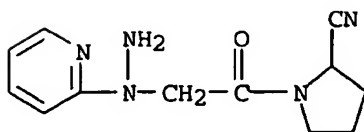
RN 613265-67-1 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[[1-(2-pyridinyl)hydrazino]acetyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-66-0

CMF C12 H15 N5 O

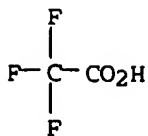


CM 2

CRN 76-05-1

CMF C2 H F3 O2

10/408,276



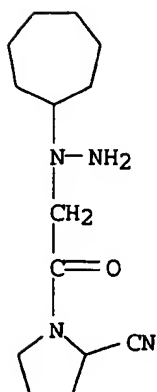
RN 613265-71-7 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[(1-cycloheptylhydrazino)acetyl]-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-70-6

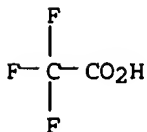
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 613265-76-2 CAPLUS

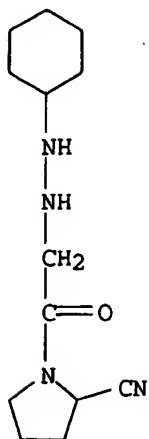
CN 2-Pyrrolidinecarbonitrile, 1-[(2-cyclohexylhydrazino)acetyl]-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-75-1

CMF C13 H22 N4 O

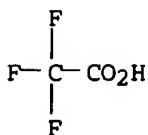
10/408,276



CM 2

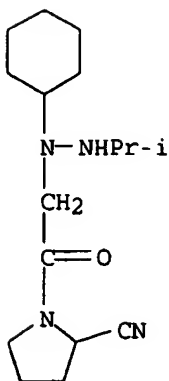
CRN 76-05-1

CMF C2 H F3 O2



RN 613265-80-8 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[[1-cyclohexyl-2-(1-methylethyl)hydrazino]acetyl]- (9CI) (CA INDEX NAME)



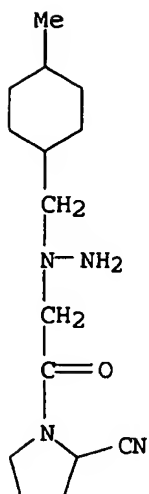
RN 613265-82-0 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[[1-[(4-methylcyclohexyl)methyl]hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

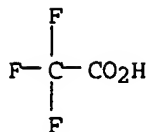
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CRN 613265-81-9  
CMF C15 H26 N4 O



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

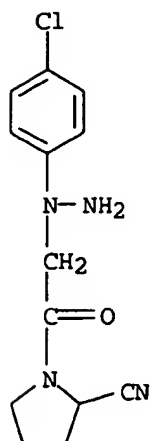


RN 613265-84-2 CAPLUS  
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(4-chlorophenyl)hydrazino]acetyl]-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-83-1  
CMF C13 H15 Cl N4 O

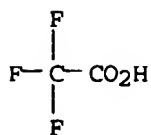
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



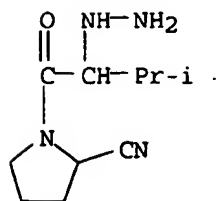
RN 613265-86-4 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-(2-hydrazino-3-methyl-1-oxobutyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-85-3

CMF C10 H18 N4 O

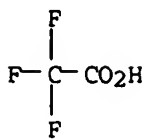


CM 2

CRN 76-05-1

CMF C2 H F3 O2

10/408,276



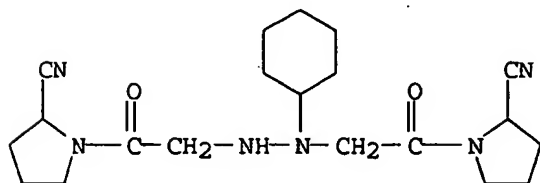
RN 613265-94-4 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1,1'-[(cyclohexylhydrazo)bis(1-oxo-2,1-ethanediyl)]bis-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-93-3

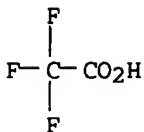
CMF C20 H30 N6 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 613266-00-5 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[[1-(1,2,3,4-tetrahydro-1-naphthalenyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

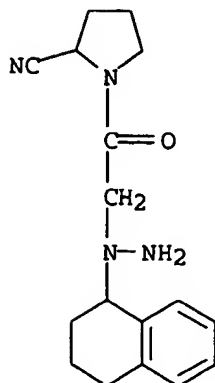
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CRN 613265-99-9

CMF C17 H22 N4 O



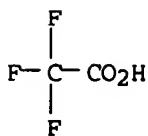
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CM 2

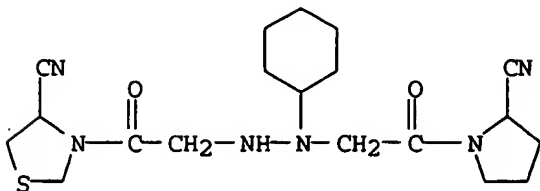
CRN 76-05-1

CMF C2 H F3 O2



RN 613266-01-6 CAPLUS

CN 4-Thiazolidinecarbonitrile, 3-[[2-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]-2-cyclohexylhydrazino]acetyl]- (9CI) (CA INDEX NAME)



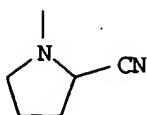
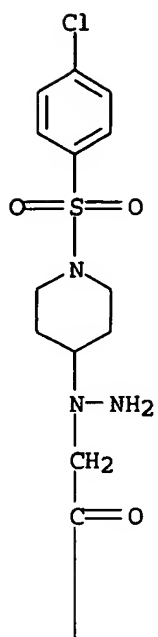
RN 613266-39-0 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[[1-[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613266-38-9

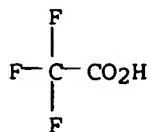
CMF C18 H24 Cl N5 O3 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 697801-86-8 CAPLUS

CN 1-Piperidineacetamide, N-(5-chloro-2-pyridinyl)-4-[1-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]hydrazino]-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

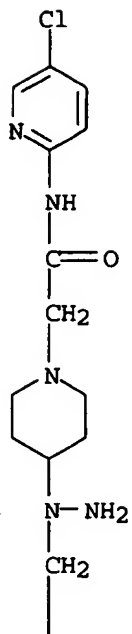
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CRN 697801-85-7

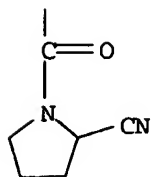
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CMF C19 H26 Cl N7 O2

PAGE 1-A



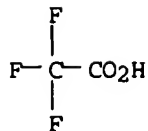
PAGE 2-A



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 697802-29-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiazolidinecarbonitriles and analogs for use as

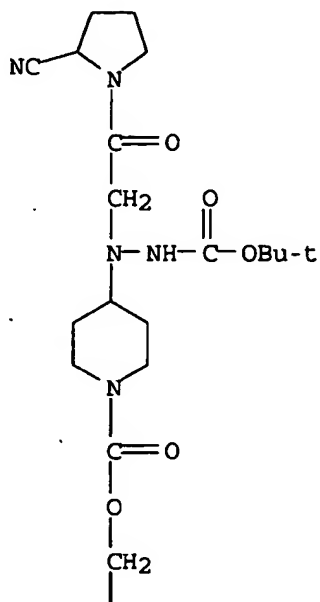
10/408,276

dipeptidyl-peptidase inhibitors)

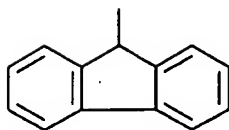
RN 697802-29-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[1-[2-(2-cyano-1-pyrrolidiny)-2-oxoethyl]-2-[(1,1-dimethylethoxy)carbonyl]hydrazino]-, 9H-fluoren-9-ylmethyl ester  
(9CI) (CA INDEX NAME)

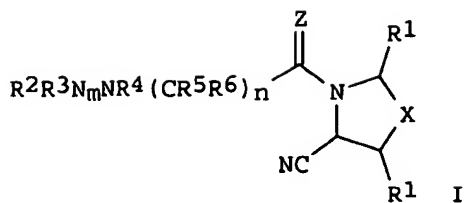
PAGE 1-A



PAGE 2-A



GI



AB The invention discloses novel compds. I [X = O, S, SO, SO2, NR7, or CHR1; m, n = null or 1; Z = O, S, or NR7; R1-R7 = H, (cyclo)alk(en)yl,

bicycloalkyl, (hetero)aryl, etc.; for m = null, R4 and R6 form a 6- or 7-membered ring optionally containing two or three heteroatoms O, S and NR7, R1 = H and N is attached to H] and their derivs., analogs, tautomeric forms, stereoisomers, polymorphs, and pharmaceutically-acceptable salts that are useful for normalizing elevated blood glucose levels in diabetes, treating disorders related to glucose intolerance, and for scavenging free radicals of mammals. Thus, 3-[1-oxo-2-(1-cyclohexylhydrazino)ethyl]-4-cyanothiazolidine trifluoroacetate, prepared by reaction of tert-Bu cyclohexylcarbazate with 3-(chloroacetyl)-4-cyanothiazolidine, showed IC50 = 2.01 ± 0.16 µM for inhibition of dipeptidyl-peptidase IV.

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:818408 CAPLUS

DOCUMENT NUMBER: 139:323514

TITLE: Preparation of thiazolidine-4-carbonitriles and analogs and their use as dipeptidyl-peptidase inhibitors

INVENTOR(S): Sankaranarayanan, Alangudi

PATENT ASSIGNEE(S): India

SOURCE: PCT Int. Appl., 223 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084940	A1	20031016	WO 2003-IB1330	20030403
WO 2003084940	B1	20031224		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2481995	AA	20031016	CA 2003-2481995	20030403
EP 1492777	A1	20050105	EP 2003-710113	20030403
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003008816	A	20050322	BR 2003-8816	20030403
PRIORITY APPLN. INFO.:			US 2002-370224P	P 20020408
			WO 2003-IB1330	W 20030403

OTHER SOURCE(S): CASREACT 139:323514; MARPAT 139:323514

IT 613265-23-9P 613265-25-1P 613265-27-3P

613265-28-4P 613265-30-8P 613265-34-2P

613265-36-4P 613265-38-6P 613265-41-1P

613265-49-9P 613265-53-5P 613265-57-9P

613265-61-5P 613265-65-9P 613265-67-1P

613265-71-7P 613265-76-2P 613265-80-8P

613265-82-0P 613265-84-2P 613265-86-4P

613265-94-4P 613266-00-5P 613266-01-6P

613266-39-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

10/408,276

(Uses)

(preparation of thiazolidinecarbonitriles and analogs for use as dipeptidyl-peptidase inhibitors)

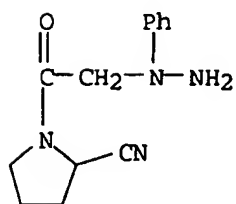
RN 613265-23-9 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[(1-phenylhydrazino)acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 613265-22-8

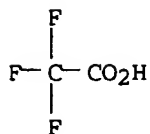
CMF C13 H16 N4 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



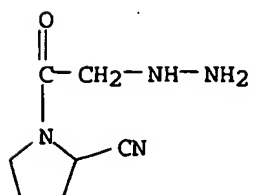
RN 613265-25-1 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-(hydrazinoacetyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-24-0

CMF C7 H12 N4 O

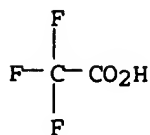


CM 2

CRN 76-05-1

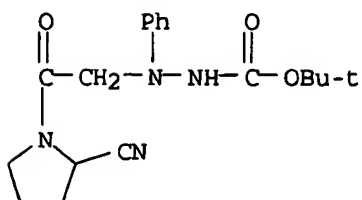
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CMF C2 H F3 O2



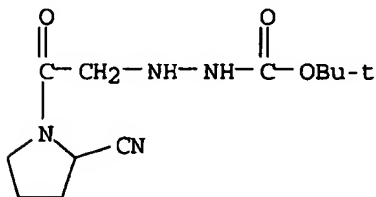
RN 613265-27-3 CAPLUS

CN Hydrazinecarboxylic acid, 2-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]-2-phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 613265-28-4 CAPLUS

CN Hydrazinecarboxylic acid, 2-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 613265-30-8 CAPLUS

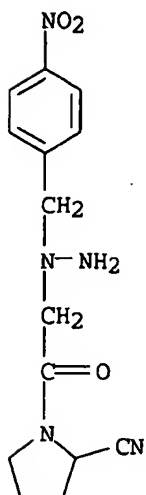
CN 2-Pyrrolidinecarbonitrile, 1-[[[1-[(4-nitrophenyl)methyl]hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-29-5

CMF C14 H17 N5 O3

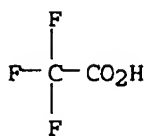
10/408,276



CM 2

CRN 76-05-1

CMF C2 H F3 O2



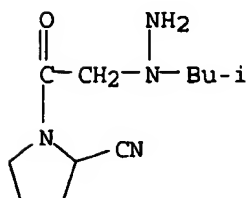
RN 613265-34-2 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[[1-(2-methylpropyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-33-1

CMF C11 H20 N4 O



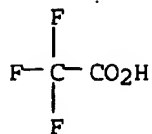
CM 2

CRN 76-05-1

CMF C2 H F3 O2



10/408,276



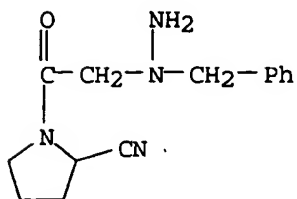
RN 613265-36-4 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[[1-(phenylmethyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-35-3

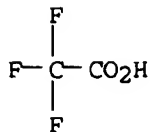
CMF C14 H18 N4 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 613265-38-6 CAPLUS

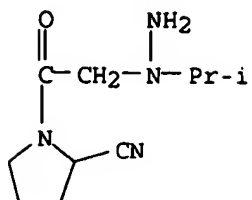
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(1-methylethyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-37-5

CMF C10 H18 N4 O

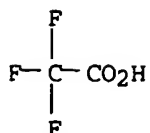
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



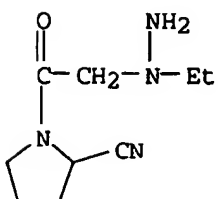
RN 613265-41-1 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[(1-ethylhydrazino)acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-40-0

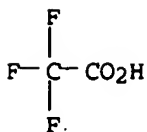
CMF C9 H16 N4 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 613265-49-9 CAPLUS

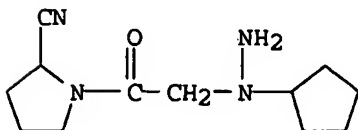
CN 2-Pyrrolidinecarbonitrile, 1-[(1-cyclopentylhydrazino)acetyl]-,

10/408,276

mono(trifluoroacetate) (9CI) (CA INDEX NAME)

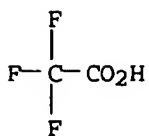
CM 1

CRN 613265-48-8  
CMF C12 H20 N4 O



CM 2

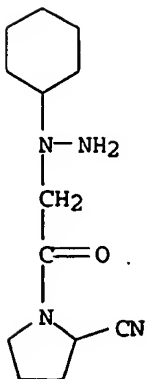
CRN 76-05-1  
CMF C2 H F3 O2



RN 613265-53-5 CAPLUS  
CN 2-Pyrrolidinecarbonitrile, 1-[(1-cyclohexylhydrazino)acetyl]-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-52-4  
CMF C13 H22 N4 O

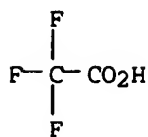


CM 2

CRN 76-05-1

10/408,276

CMF C2 H F3 O2



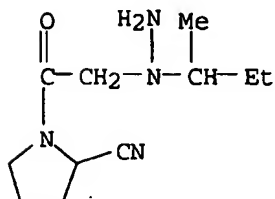
RN 613265-57-9 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[[1-(1-methylpropyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-56-8

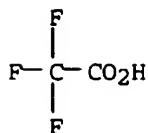
CMF C11 H20 N4 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 613265-61-5 CAPLUS

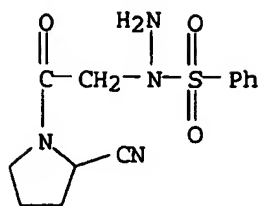
CN Benzenesulfonic acid, 1-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]hydrazide, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-60-4

CMF C13 H16 N4 O3 S

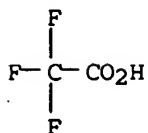
10/408,276



CM 2

CRN 76-05-1

CMF C2 H F3 O2



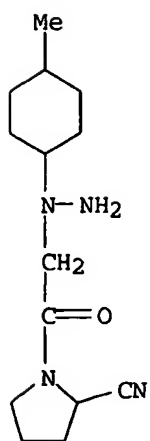
RN 613265-65-9 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[[1-(4-methylcyclohexyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-64-8

CMF C14 H24 N4 O

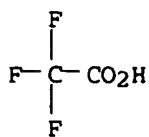


CM 2

CRN 76-05-1

CMF C2 H F3 O2

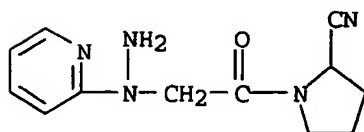
10/408,276



RN 613265-67-1 CAPLUS  
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(2-pyridinyl)hydrazino]acetyl]-,  
bis(trifluoroacetate) (9CI) (CA INDEX NAME)

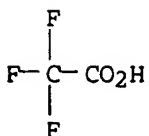
CM 1

CRN 613265-66-0  
CMF C12 H15 N5 O



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

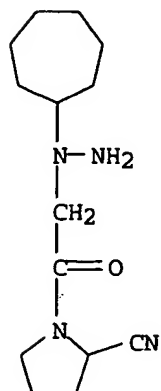


RN 613265-71-7 CAPLUS  
CN 2-Pyrrolidinecarbonitrile, 1-[(1-cycloheptylhydrazino)acetyl]-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-70-6  
CMF C14 H24 N4 O

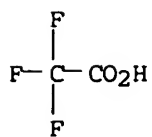
10/408,276



CM 2

CRN 76-05-1

CMF C2 H F3 O2



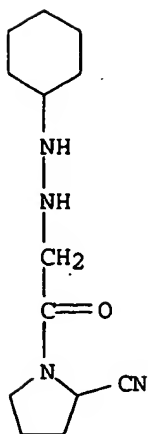
RN 613265-76-2 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[(2-cyclohexylhydrazino)acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-75-1

CMF C13 H22 N4 O

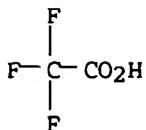


10/408,276

CM 2

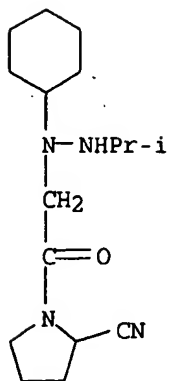
CRN 76-05-1

CMF C2 H F3 O2



RN 613265-80-8 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[[1-cyclohexyl-2-(1-methylethyl)hydrazino]acetyl]- (9CI) (CA INDEX NAME)



RN 613265-82-0 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[[1-[(4-methylcyclohexyl)methyl]hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

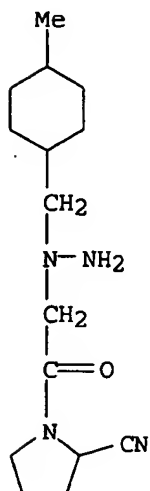
CM 1

CRN 613265-81-9

CMF C15 H26 N4 O



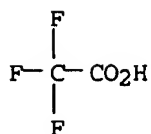
10/408,276



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 613265-84-2 CAPLUS

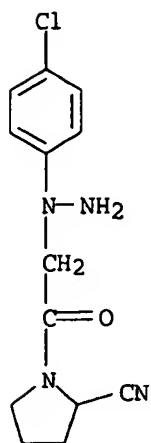
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(4-chlorophenyl)hydrazino]acetyl]-,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-83-1

CMF C13 H15 Cl N4 O

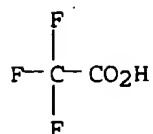
10/408,276



CM 2

CRN 76-05-1

CMF C2 H F3 O2



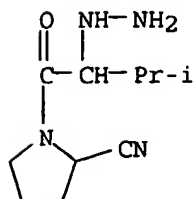
RN 613265-86-4 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-(2-hydrazino-3-methyl-1-oxobutyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-85-3

CMF C10 H18 N4 O

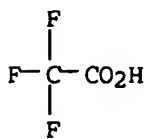


CM 2

CRN 76-05-1

CMF C2 H F3 O2

10/408,276



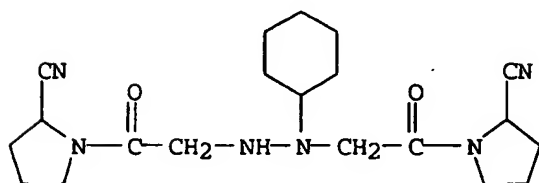
RN 613265-94-4 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1,1'-[(cyclohexylhydrazo)bis(1-oxo-2,1-ethanediyl)]bis-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-93-3

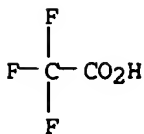
CMF C20 H30 N6 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 613266-00-5 CAPLUS

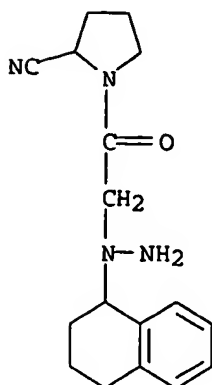
CN 2-Pyrrolidinecarbonitrile, 1-[[1-(1,2,3,4-tetrahydro-1-naphthalenyl)hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 613265-99-9

CMF C17 H22 N4 O

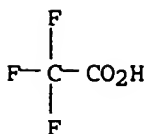
10/408,276



CM 2

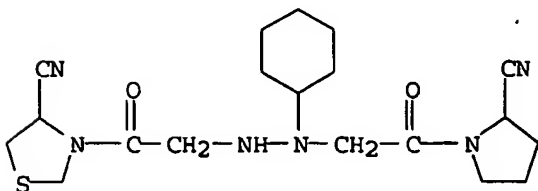
CRN 76-05-1

CMF C2 H F3 O2



RN 613266-01-6 CAPLUS

CN 4-Thiazolidinecarbonitrile, 3-[[2-[2-(2-cyano-1-pyrrolidinyl)-2-oxoethyl]-2-cyclohexylhydrazino]acetyl]- (9CI) (CA INDEX NAME)



RN 613266-39-0 CAPLUS

CN 2-Pyrrolidinecarbonitrile, 1-[[1-[1-[(4-chlorophenyl)sulfonyl]-4-piperidinyl]hydrazino]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

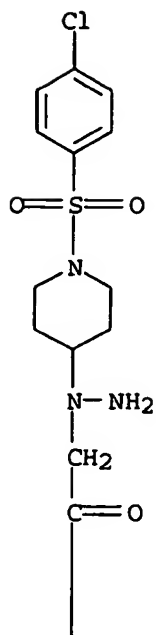
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CRN 613266-38-9

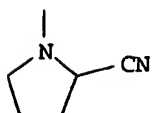
CMF C18 H24 Cl N5 O3 S

10/408,276

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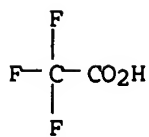
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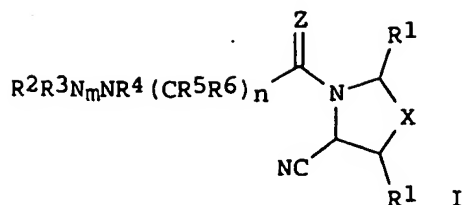
CM 2

CRN 76-05-1

CMF C2 H F3 O2



GI



AB The invention discloses novel compds. I [X = O, S, SO, SO<sub>2</sub>, NR<sub>7</sub>, or CHR<sub>1</sub>; m, n = null or 1; Z = O, S, or NR<sub>7</sub>; R<sub>1</sub>-R<sub>7</sub> = H, (cyclo)alk(en)yl, bicycloalkyl, (hetero)aryl, etc.; for m = null, R<sub>4</sub> and R<sub>6</sub> form a 6- or 7-membered ring optionally containing two or three heteroatoms O, S and NR<sub>7</sub>, R<sub>1</sub> = H and N is attached to H] and their derivs., analogs, tautomeric forms, stereoisomers, polymorphs, and pharmaceutically-acceptable salts that are useful for normalizing elevated blood glucose levels in diabetes, treating disorders related to glucose intolerance, and for scavenging free radicals of mammals. Thus, 3-[1-oxo-2-(1-cyclohexylhydrazino)ethyl]-4-cyanothiazolidine trifluoroacetate, prepared by reaction of tert-Bu cyclohexylcarbazate with 3-(chloroacetyl)-4-cyanothiazolidine, showed IC<sub>50</sub> = 2.01 ± 0.16 μM for inhibition of dipeptidyl-peptidase IV.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
10.33	172.30

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-1.46	-1.46

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